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   (FILE 'HOME' ENTERED AT 14:34:53 ON 29 APR 2005)

     FILE 'REGISTRY' ENTERED AT 14:35:13 ON 29 APR 2005
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           2 S L1 FULL

     FILE 'CAPLUS, USPATFULL' ENTERED AT 14:36:18 ON 29 APR 2005
L4           4 S L3
L5             STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 14:39:11 ON 29 APR 2005
L6             STRUCTURE UPLOADED
L7           0 S L6
L8           2 S L6 FULL
L9           2 S L8 NOT L3

     FILE 'CAPLUS, USPATFULL' ENTERED AT 14:40:23 ON 29 APR 2005
L10          4 S L8
L11          0 S L10 NOT L4

     FILE 'REGISTRY' ENTERED AT 14:54:29 ON 29 APR 2005
L12            STRUCTURE UPLOADED
L13          1 S L12
L14          6 S L12 FULL

     FILE 'CAPLUS, USPATFULL' ENTERED AT 14:55:14 ON 29 APR 2005
L15          6 S L14
L16          2 S L15 NOT L10

     FILE 'REGISTRY' ENTERED AT 14:56:44 ON 29 APR 2005
L17            STRUCTURE UPLOADED
L18          0 S L17
L19          2 S L17 FULL

     FILE 'CAPLUS, USPATFULL' ENTERED AT 14:57:48 ON 29 APR 2005
L20          4 S L19
L21          0 S L20 NOT L10

     FILE 'REGISTRY' ENTERED AT 15:17:09 ON 29 APR 2005
L22            STRUCTURE UPLOADED
L23            STRUCTURE UPLOADED
L24            STRUCTURE UPLOADED
L25            STRUCTURE UPLOADED
L26            STRUCTURE UPLOADED
L27            STRUCTURE UPLOADED
L28         13 S L23 FULL
L29          2 S L24 FULL
L30          6 S L25 FULL
L31          2 S L26 FULL
L32         92 S L27 FULL
L33          6 S L22 FULL

     FILE 'CAPLUS, USPATFULL' ENTERED AT 15:23:07 ON 29 APR 2005
L34         53 S L28 OR L29 OR L30 OR L31 OR L32 OR L33
L35         47 S L34 NOT L15

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L35 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:98039 CAPLUS
DOCUMENT NUMBER: 138:153534
TITLE: Preparation of benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
INVENTOR(S): Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002 107,392.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003028018	A1	20030206	US 2002-116117	20020405
US 2002107392	A1	20020808	US 2001-951265	20010911
US 6605617	B2	20030812		
US 2003158224	A1	20030821	US 2002-284017	20021030
US 6774237	B2	20040810		
US 2004006101	A1	20040108	US 2003-387355	20030312
US 6762194	B2	20040713		
CA 2481055	AA	20031023	CA 2003-2481055	20030404
WO 2003087095	A1	20031023	WO 2003-US10463	20030404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1497287	A1	20050119	EP 2003-746614	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008996	A	20050222	BR 2003-8996	20030404
US 2004097545	A1	20040520	US 2003-613411	20030703
US 6800760	B2	20041005		
US 2005054672	A1	20050310	US 2004-886950	20040708

PRIORITY APPLN. INFO.:

US 2000-232159P	P	20000911
US 2001-951265	A2	20010911
US 2002-116117	A	20020405
US 2002-284017	A1	20021030
WO 2003-US10463	W	20030404

OTHER SOURCE(S): MARPAT 138:153534

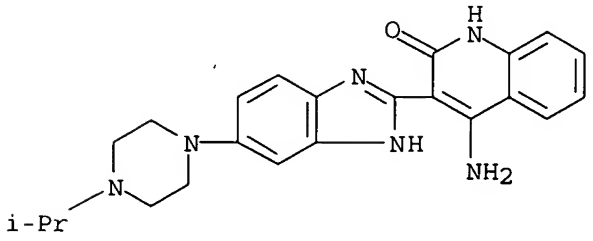
AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano,

various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 μM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

IT **405168-80-1P**, 4-Amino-3-[6-[4-(1-methylethyl)piperazin-1-yl]-1H-benzimidazol-2-yl]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-80-1 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-3-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L35 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:220574 CAPLUS

DOCUMENT NUMBER: 136:263158

TITLE: Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents

INVENTOR(S): Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	C1	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2421120	AA	20020321	CA 2001-2421120	20010911
AU 2001093275	A5	20020326	AU 2001-93275	20010911
EP 1317442	A1	20030611	EP 2001-973722	20010911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013757	A	20040302	BR 2001-13757	20010911
JP 2004509112	T2	20040325	JP 2002-526851	20010911
NZ 524717	A	20040924	NZ 2001-524717	20010911
ZA 2003001578	A	20040826	ZA 2003-1578	20030226
NO 2003001097	A	20030325	NO 2003-1097	20030310
US 2004006101	A1	20040108	US 2003-387355	20030312
US 6762194	B2	20040713		
BG 107709	A	20040130	BG 2003-107709	20030408
US 2005054672	A1	20050310	US 2004-886950	20040708
PRIORITY APPLN. INFO.:			US 2000-232159P	P 20000911
			US 2001-951265	A1 20010911
			WO 2001-US42131	W 20010911
			US 2002-284017	A1 20021030

OTHER SOURCE(S): MARPAT 136:263158

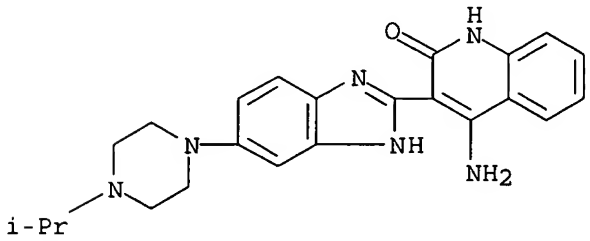
AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of

SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 μM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

IT **405168-80-1P**, 4-Amino-3-[6-[4-(1-methylethyl)piperazin-1-yl]-1H-benzimidazol-2-yl]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-80-1 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-3-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:252573 CAPLUS

DOCUMENT NUMBER: 135:31129

TITLE: Structure-activity relationship (SAR) studies on oxazolidinone antibacterial agents. 3. Synthesis and evaluation of 5-thiocarbamate oxazolidinones

AUTHOR(S): Tokuyama, Ryukou; Takahashi, Yoshiei; Tomita, Yayoi; Tsubouchi, Masatoshi; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi; Nagata, Osamu

CORPORATE SOURCE: Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui, 911-8555, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(4), 361-367
CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

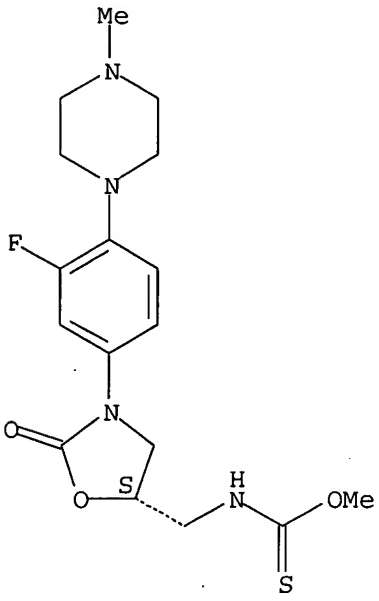
OTHER SOURCE(S): CASREACT 135:31129

AB A series of 5-thiocarbamate oxazolidinones was prepared and tested for in vitro and in vivo antibacterial activities. The results of in vitro antibacterial activity indicated that the 5-thiocarbamate group was a suitable substituent for the activity by the 5-moderate hydrophilicity. The compds. within a favorable log P value range were found to have potent in vitro antibacterial activity against gram-pos. bacteria, including methicillin-resistant Staphylococcus aureus and vancomycin-resistant enterococci. Compds. I and II were superior to linezolid in both in vitro and in vivo potency and were considered to be hopeful compds. The pharmacokinetic properties of several compds. in mice are also discussed.

IT **268208-26-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-activity relationship studies on oxazolidinone antibacterial

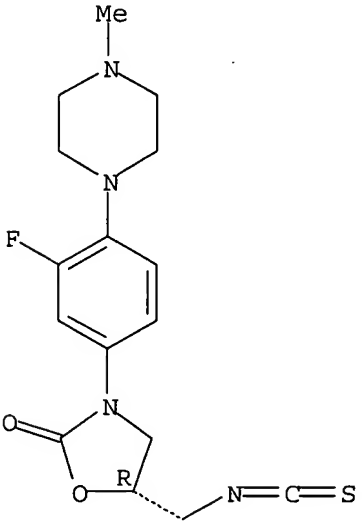
agents; synthesis and evaluation of 5-thiocarbamate oxazolidinones)
RN 268208-26-0 CAPLUS
CN Carbamothioic acid, [[(5S)-3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **221201-66-7P**
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship studies on oxazolidinone antibacterial agents; synthesis and evaluation of 5-thiocarbamate oxazolidinones)
RN 221201-66-7 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-5-(isothiocyanatomethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:335397 CAPLUS
DOCUMENT NUMBER: 132:334453
TITLE: Preparation of oxazolidinylmethylthiocarbamic acid derivatives as antibacterial agents
INVENTOR(S): Kado, Noriyuki; Tokuyama, Ryukou; Tsubouchi, Masatoshi; Tomita, Yayoi
PATENT ASSIGNEE(S): Hokuriku Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027830	A1	20000518	WO 1999-JP6260	19991110
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000204084	A2	20000725	JP 1999-273230	19990927
EP 1130016	A1	20010905	EP 1999-971804	19991110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:
JP 1998-320137 A 19981111
JP 1999-273230 A 19990927
WO 1999-JP6260 W 19991110

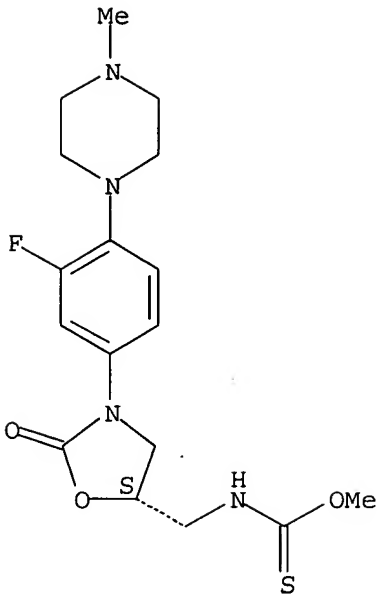
OTHER SOURCE(S): MARPAT 132:334453

AB The title compds. I [R1 is optionally substituted alkyl or optionally substituted cycloalkyl; and R2, R3 and R4 are each independently hydrogen, halogeno, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted amino, optionally substituted alkanoyl, optionally substituted cycloalkyloxy containing a heteroatom as the ring-constituting atom, or an optionally substituted saturated heterocyclic group, or alternatively any two of R2, R3 and R4 together with the benzene ring may form an optionally substituted fused hydrocarbon ring] are prepared The title compound II in vitro showed IC50 of 0.39 μg/mL against S. aureus, vs. IC50 of 3.13 μg/mL for linezolid.

IT **268208-26-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinylmethylthiocarbamic acid derivs. as antibacterial agents)

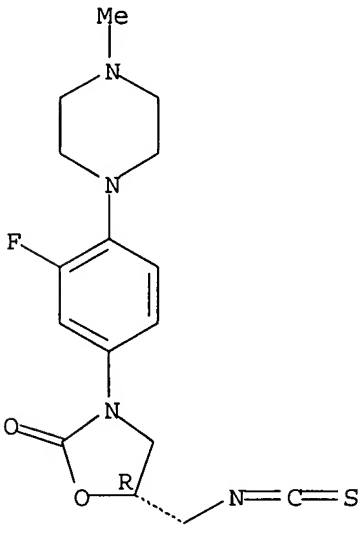
RN 268208-26-0 CAPLUS
CN Carbamothioic acid, [[(5S)-3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



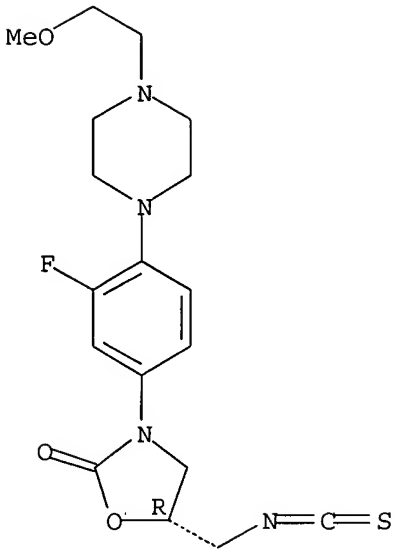
IT **221201-66-7P 268209-49-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinylmethylthiocarbamic acid derivs. as antibacterial agents)
RN 221201-66-7 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-5-(isothiocyanatomethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 268209-49-0 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]-5-(isothiocyanatomethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:314677 CAPLUS
DOCUMENT NUMBER: 132:321860
TITLE: Preparation of 2-phenylbenzimidazoles as poly(ADP-ribose) polymerase inhibitors.
INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoger, Thomas
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026192	A1	20000511	WO 1999-EP8169	19991028
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2349227	AA	20000511	CA 1999-2349227	19991028
BR 9915013	A	20010807	BR 1999-15013	19991028
EP 1127052	A1	20010829	EP 1999-955894	19991028
EP 1127052	B1	20041208		
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TR 200101239	T2	20011121	TR 2001-200101239	19991028
TR 200200972	T2	20020722	TR 2002-200200972	19991028
JP 2002528531	T2	20020903	JP 2000-579581	19991028
AU 765224	B2	20030911	AU 2000-12665	19991028
EP 1391457	A1	20040225	EP 2003-24899	19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				

AT 284392	E	20041215	AT 1999-955894		19991028
NO 2001002158	A	20010626	NO 2001-2158		20010502
ZA 2001003558	A	20020503	ZA 2001-3558		20010503
BG 105515	A	20011231	BG 2001-105515		20010516
PRIORITY APPLN. INFO.:			DE 1998-19850709	A	19981103
			DE 1998-19852801	A	19981116
			DE 1999-19908733	A	19990301
			EP 1999-955894	A3	19991028
			WO 1999-EP8169	W	19991028

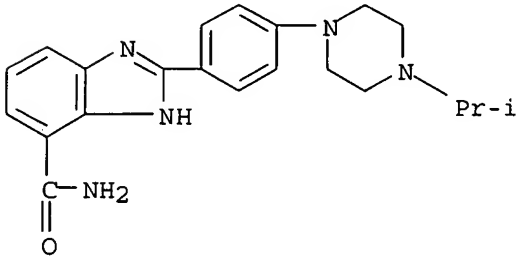
OTHER SOURCE(S): MARPAT 132:321860

AB Title compds. [I, II; R1 = H, (substituted) alkyl; R2 = H, Cl, Br, iodo, F, CF3, NO2, acylamino, amino, OH, alkoxy, phenylalkoxy, (substituted) Ph, etc.; n = 0-2; R3 = D(F1)pEq(F2)rG, EDu(F2)sGv, etc.; R4 = H, Cl, F, Br, iodo, alkyl, OH, NO2, CF3, cyano, amino, acylamino, alkoxy; D = S, O; E = Ph, imidazolyl, pyrrolyl, thienyl, pyridyl, isoxazolyl, etc.; F1, F2 = (substituted) C1-8 chain; p, q, r, s, u, v = 0, 1; G = amino, (substituted) pyrrolidinyl, piperidinyl, piperazinyl, azepinyl, diazepinyl, morpholino], were prepared as drugs (no data). Thus, Et 2,3-diaminobenzoate and HOAc in MeOH were treated with 4-(N,N-diethylaminoeth-1-yloxy)benzaldehyde (preparation given) in MeOH over 30 min.; CuOAc in H2O was added and the mixture was refluxed 20 min. to to give Et 2-[4-[2-(N,N-diethylamino)eth-1-yloxy]phenyl]benzimidazole-4-carboxylate. This was refluxed 10 h with N2H4 in EtOH to give the hydrazide, which was heated with Raney Ni in DMF/H2O to give 2-[4-[2-(N,N-diethylamino)eth-1-yloxy]phenyl]benzimidazole-4-carboxamide.

IT **266993-54-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-phenylbenzimidazoles as PARP inhibitors)

RN 266993-54-8 CAPLUS

CN 1H-Benzimidazole-4-carboxamide, 2-[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1999:747431 CAPLUS
DOCUMENT NUMBER:	131:351320
TITLE:	Preparation of oxazolidinylmethyldithiocarbamic acid derivatives as bactericides and fungicides
INVENTOR(S):	Yoshida, Toshihiko; Tokuyama, Tatsuteru; Tomita, Yayoi
PATENT ASSIGNEE(S):	Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 90 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11322729	A2	19991124	JP 1999-57378	19990304
PRIORITY APPLN. INFO.:			JP 1998-74982 A	19980309
OTHER SOURCE(S):	MARPAT 131:351320			

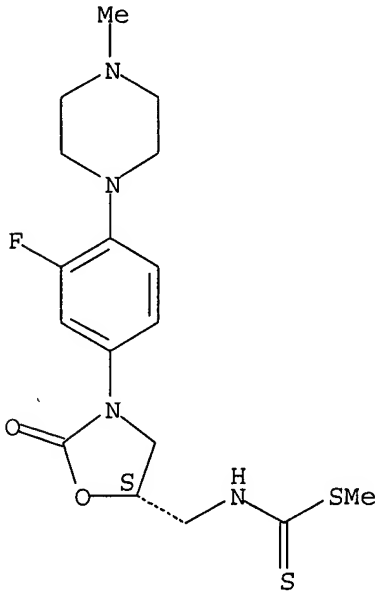
AB Title compds. I (R = Ph, substituted Ph; R1 = alkyl, cycloalkyl, aryl, aralkyl, etc.) and their salts, useful as bactericides and fungicides, are prepared Thus, reaction of (S)-5-aminomethyl-2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidine with CS2 in CH2Cl2 in the presence of Et3N gave, after treatment with MeI, Me (S)-N-[2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyldithiocarbamate. Me (S)-N-[2-oxo-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyldithiocarbamate showed bactericidal activity superior to that of linezolid.

IT **250374-20-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinylmethyldithiocarbamic acid derivs. as bactericides and fungicides)

RN 250374-20-0 CAPLUS

CN Carbamodithioic acid, [[(5S)-3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L35 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1999:194131 CAPLUS
DOCUMENT NUMBER:	130:223265
TITLE:	Preparation of N-(2-oxothiazolidin-5-ylmethyl)thiourea derivatives as antibacterial agents
INVENTOR(S):	Yoshida, Toshihiko; Tokuyama, Ryukou; Tomita, Yayoi
PATENT ASSIGNEE(S):	Hokuriku Seiyaku Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 137 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912914	A1	19990318	WO 1998-JP4074	19980910
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 11158164	A2	19990615	JP 1998-272500	19980909
AU 9890015	A1	19990329	AU 1998-90015	19980910
PRIORITY APPLN. INFO.:			JP 1997-265054 A	19970911
			WO 1998-JP4074 W	19980910

OTHER SOURCE(S): MARPAT 130:223265

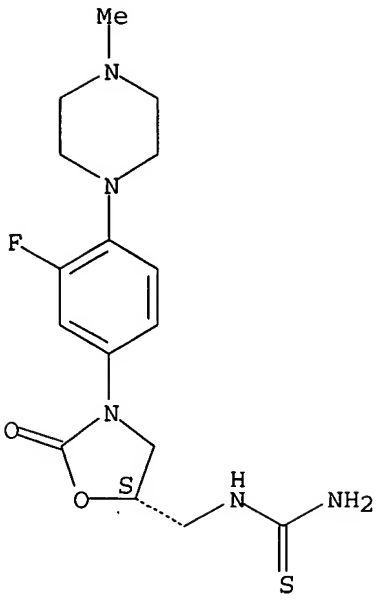
AB Antimicrobial thiourea derivs. of general formula (I) or salts thereof: (wherein R1, R2, and R3 are each hydrogen, alkyl, cycloalkyl, nitrogen-protecting group, alkoxycarbonylalkyl or the like; and R is Ph which may be substituted by halogeno, hydroxyl, mercapto, amino, cyano, nitro, carboxyl, carbamoyl, alkyl, cycloalkyl, alkoxy, alkylamino, alkanoyl, arylcarbonyl, aryl, aralkyl, aryloxy, cycloalkyloxy containing a hetero-atom as a ring atom, a saturated heterocyclic group or the like) are prepared Also claim is an antibacterial agent, in particular against gram pos. bacteria, containing I as the active ingredient. These thiourea derivs. exhibit excellent antibacterial activity against not only normal bacteria but also resistant strains of bacteria, e.g. methicillin-resistant Staphylococcus aureus (MRSA). Thus, addition reaction of (R)-[2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyl isothiocyanate with NH3 in MeOH at room temperature for 9 h gave I [R = 4-(thiomorpholin-4-yl)phenyl, R1 = R2 = R3 = H]. I [R = 3-fluoro-4-(pyrrolidino-1-yl)phenyl, R1 = R2 = R3 = H] showed min. inhibitory concentration of 0.39 μg/mL against MRSA HPC1336 and Enterococcus faecalis HPC948 and HPC975.

IT **221202-19-3P 221202-73-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(oxothiazolidinylmethyl)thiourea derivs. as antibacterial agents)

RN 221202-19-3 CAPLUS

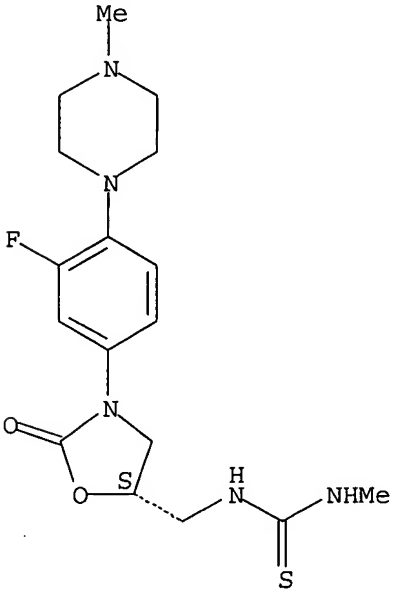
CN Thiourea, [[(5S)-3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



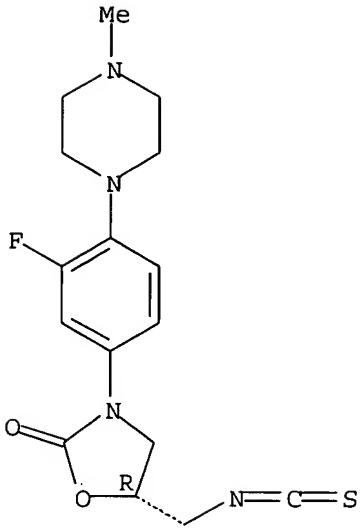
RN 221202-73-9 CAPLUS
CN Thiourea, N-[[(5S)-3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N'-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **221201-66-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(oxothiazolidinylmethyl)thiourea derivs. as antibacterial agents)
RN 221201-66-7 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-5-(isothiocyanatomethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:140310 CAPLUS
DOCUMENT NUMBER: 126:157403
TITLE: Preparation of benzamidoxime derivatives as cell adhesion inhibitors
INVENTOR(S): Honda, Tadashi; Goto, Hiroyuki; Tsuji, Hiroyuki
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9702245	A1	19970123	WO 1996-JP1861		19960705
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML					
AU 9663188	A1	19970205	AU 1996-63188		19960705
JP 09071564	A2	19970318	JP 1996-195544		19960705
PRIORITY APPLN. INFO.:			JP 1995-195932	A	19950706
			WO 1996-JP1861	W	19960705

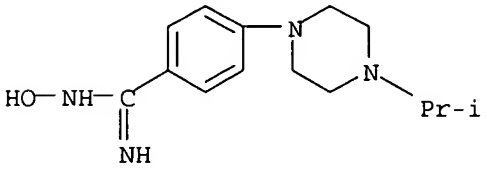
OTHER SOURCE(S): MARPAT 126:157403

AB The title compds. [I; R1 = H, alkyl, aralkyl, acyl; R2, R3 = H, alkyl, acyl; A = O, CO, A'R4, etc.; R4 = H, (un)substituted alkyl, aryl (specifically, piperidino or piperazine), aralkyl, or alkoxycarbonyl; A' = N, CH; B = CO(CH2)j, CHMe(CH2)j, etc.; j = 0-2; X = H, halo; n = 1-3], pharmacol. acceptable salts thereof, are prepared I are useful as cell adhesion inhibitors for prevention and treatment of asthma, inflammation, atopic dermatosis, chronic arthritis, and allergic diseases. Thus, HONH2.HCl was treated with tert-BuOK and then reacted with 4-(4-cyclohexyl-1-piperazinyl)benzonitrile (preparation given) to give I (R1 = R2 = R3 = X = H, n = 2, B = CH2CH2, A = A'R4, A' = N, R4 = cyclohexyl). I (R1 = R2 = R3 = X = H, n = 2, B = CH2CH2, A = A'R4, A' = CH, R4 = piperidyl) showed IC50 of 0.62 μM against PMN producing H2O2 when

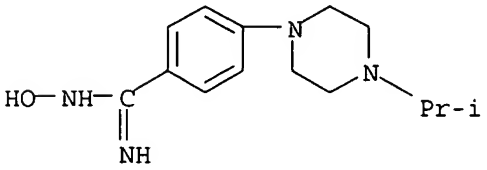
tested on human in vitro.

IT **186650-26-0P 186650-39-5P 186650-65-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamidoxime derivs. as cell adhesion inhibitors)

RN 186650-26-0 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[4-(1-methylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

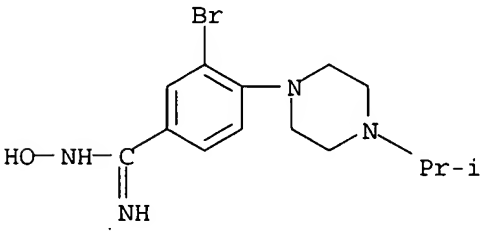


RN 186650-39-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[4-(1-methylethyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 186650-65-7 CAPLUS
CN Benzenecarboximidamide, 3-bromo-N-hydroxy-4-[4-(1-methylethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L35 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:777741 CAPLUS
DOCUMENT NUMBER: 123:169660
TITLE: Preparation of 1-(2H-1-benzopyran-2-one-8-yl)piperazine serotoninergic agonists and antagonists
INVENTOR(S): Van Steen, Bartholomeus Johanne; Hartog, Jan; Van Der Heyden, Johannes Antoni; Schipper, Jacques

PATENT ASSIGNEE(S): Duphar International Research B.V., Neth.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 650964	A1	19950503	EP 1994-203088	19941025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2134630	AA	19950503	CA 1994-2134630	19941028
FI 9405086	A	19950503	FI 1994-5086	19941028
NO 9404120	A	19950503	NO 1994-4120	19941028
ZA 9408520	A	19950626	ZA 1994-8520	19941028
CN 1105360	A	19950719	CN 1994-117603	19941028
JP 07188207	A2	19950725	JP 1994-287129	19941028
HU 72320	A2	19960429	HU 1994-3110	19941028
AU 9477562	A1	19950601	AU 1994-77562	19941031
AU 675880	B2	19970220		
IL 111461	A1	19980615	IL 1994-111461	19941031

PRIORITY APPLN. INFO.: EP 1993-203058 A 19931102
OTHER SOURCE(S): MARPAT 123:169660

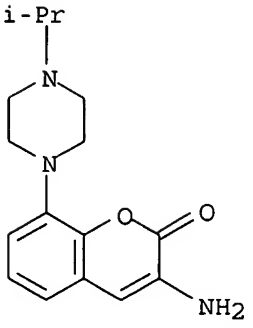
AB The title compds. [I; R1 = (un)substituted alkyl, alkoxy, OH, pyrrolidinyl, piperidinyl, morpholinyl, etc.; R2 = alkyl, alkoxy, halogen, CF3; R3 = H, alkyl, alkenyl; R4 = alkyl; m, p = 0-2; n = 0, 1; where m + n is ≥1] [e.g., 1-(3-methyl-2H-1-benzopyran-2-one-8-yl)piperazine hydrochloride; m.p. 270-272°], which are 5-HT1A agonists (no data) and 5-HT1D antagonists (no data), are prepared and are useful for the treatment of affections or diseases of the central nervous system caused by disturbances of the serotonergic transmission (no data).

IT **167378-40-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(2H-1-benzopyran-2-one-8-yl)piperazine serotoninergic agonists and antagonists)

RN 167378-40-7 CAPLUS
CN 2H-1-Benzopyran-2-one, 3-amino-8-[4-(1-methylethyl)-1-piperazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

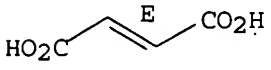
CRN 167378-39-4
CMF C16 H21 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

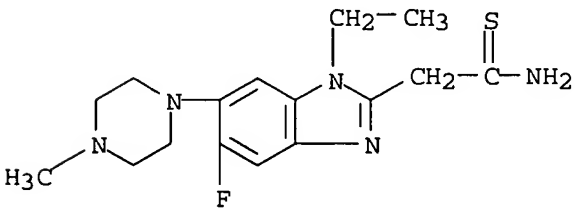
Double bond geometry as shown.



L35 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:270464 CAPLUS
DOCUMENT NUMBER: 120:270464
TITLE: Amino-substituted pyrimido[1,6-a]benzimidazoles as bactericides
INVENTOR(S): Specklin, Jean Luc; Kompis, Ivan; Specklin, Jean-luc
PATENT ASSIGNEE(S): Hoffmann-La-Roche Inc., USA
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser No. 708,642, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5283248	A	19940201	US 1992-904245		19920625
ZA 9009138	A	19910731	ZA 1990-9138		19901114
PRIORITY APPLN. INFO.:			CH 1989-4165	A	19891121
			CH 1990-2688	A	19900817
			CH 1990-2817	A	19900830
			US 1990-612333	B2	19901113
			US 1991-708642	B2	19910531

OTHER SOURCE(S): MARPAT 120:270464
AB The present invention relates to novel substituted pyrimidobenzimidazole derivs. of the formula I (R1 = H, halo, amino; R2 = halo; R = alkylpyridinyl, amino, etc.; R5-R8 = H, halo, alkyl, etc.; Y = oxygen, sulfur). I have an inhibitory action on the DNA-gyrase activity in bacteria. They can accordingly be used for the prevention or control of bacterial infections. An example compound, 5-ethyl-8-fluoro-7-(4-methyl-1-piperazinyl)pyrimido[1,6-a]benzimidazole-1,3(2H,5H)-dione (II) was prepared
IT **137882-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for (piperazinyl)pyrimido[1,6-a]benzimidazole bactericide)
RN 137882-04-3 CAPLUS
CN 1H-Benzimidazole-2-ethanethioamide, 1-ethyl-5-fluoro-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L35 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:106118 CAPLUS

DOCUMENT NUMBER: 116:106118
TITLE: Preparation of antimicrobial quinolonyllactams
INVENTOR(S): Demuth, Thomas Prosser, Jr.; White, Ronald Eugene
PATENT ASSIGNEE(S): Norwich Eaton Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9116327	A1	19911031	WO 1991-US2476		19910412
W: AU, CA, FI, JP, KR, NO					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
CA 2078444	AA	19911019	CA 1991-2078444		19910412
AU 9177643	A1	19911111	AU 1991-77643		19910412
AU 640481	B2	19930826			
EP 525057	A1	19930203	EP 1991-908230		19910412
EP 525057	B1	20000614			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 05506033	T2	19930902	JP 1991-508348		19910412
AT 193890	E	20000615	AT 1991-908230		19910412
ES 2147721	T3	20001001	ES 1991-908230		19910412
ZA 9102872	A	19920129	ZA 1991-2872		19910417
NO 9203964	A	19921208	NO 1992-3964		19921013
US 5530116	A	19960625	US 1994-361919		19941222
PRIORITY APPLN. INFO.:			US 1990-511483	A	19900418
			WO 1991-US2476	A	19910412

OTHER SOURCE(S): MARPAT 116:106118

AB Q-L-B [Q = I; A1 = N, CR7; R7 = H, OH, alkoxy, NO2, cyano, halo, alkyl, amino; A2 = N, CR2; R2 = H, halo; A3 = N, CH; R1 = H, (aryl)alkyl, carbocyclyl, heterocyclyl, alkoxy, OH, alkenyl, amino; R3 = H, halo, alkyl, carbocyclyl, heterocyclyl; R4 = OH; R6 = substituent of L and is null; (hetero)alkyl, alkenyl, etc.; B = II; R10 = H, halo, (hetero)alkyl, alkenyl, carbocyclyl, heterocyclyl, imino, (acyl)amino, etc.; R11 = H, halo, alkoxy, acylamino; R12 = CR20, CH2R21, etc.; R20 = H, alkyl, alkenyl, carbocyclyl, heterocyclyl; R21 = CR20, O, N; bond a = null, single bond; b = null, single or double bond; R13 = H, SO3H, CHR33, CONHSO2, CR33, OSO3H, etc.; R33 = H, CO2H; R14 = null, WC:CR20 R37, etc.; W = O, S, SO, SO2; R37 = null, alkyl, alkenyl, carbocyclyl, heterocyclyl; L = linking group], were prepared as antimicrobials (no data). Thus, title compound III was prepared starting from 1-cyclopropyl-5,6,8-trifluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxoquinoline-3-carboxylic acid by successive reaction with tert-Bu carbazate, CF3CO2H, CS2/NaOH, and cephalothin sodium. A parenteral formulation containing III was prepared

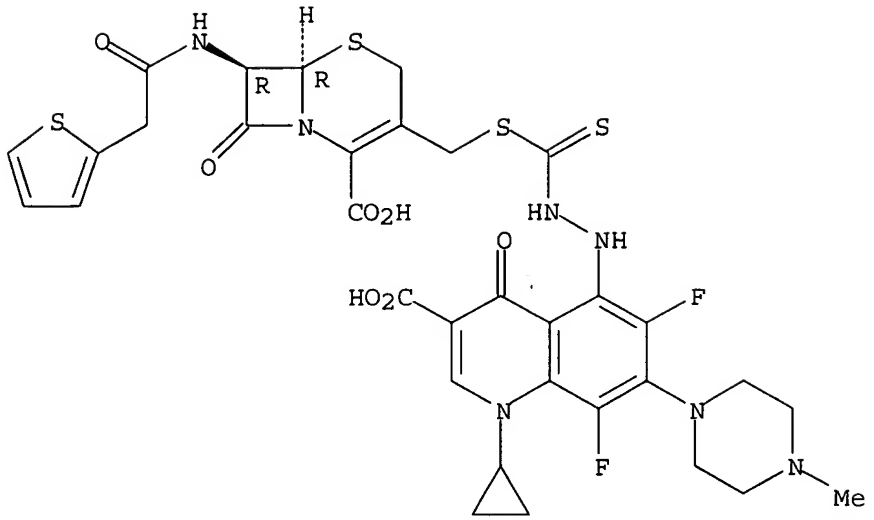
IT **138648-66-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimicrobial)

RN 138648-66-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[[2-[3-carboxy-1-cyclopropyl-6,8-difluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-5-quinolinyl]hydrazino]thioxomethyl]thio]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, disodium salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

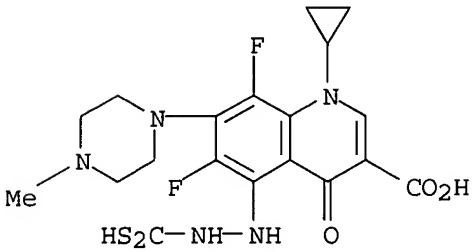
PAGE 1-A



PAGE 2-A

●2 Na

IT **138648-70-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antimicrobial)
RN 138648-70-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5-[2-(dithiocarboxy)hydrazino]-6,8-difluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L35 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:6577 CAPLUS
DOCUMENT NUMBER: 116:6577
TITLE: Preparation of pyrimido[1,6-a]benzimidazole-1,3-diones as antibacterials
INVENTOR(S): Hubschwerlen, Christian; Kompis, Ivan; Specklin, Jean Luc
PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co. AG, Switz.
SOURCE: Can. Pat. Appl., 48 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2028530	AA	19910522	CA 1990-2028530	19901025
EP 433648	A1	19910626	EP 1990-121665	19901113
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
ZA 9009138	A	19910731	ZA 1990-9138	19901114
JP 03170481	A2	19910724	JP 1990-307348	19901115
AU 9066700	A1	19910711	AU 1990-66700	19901116
AU 640708	B2	19930902		

PRIORITY APPLN. INFO.: CH 1989-4165 A 19891121
CH 1990-2688 A 19900817
CH 1990-2817 A 19900830

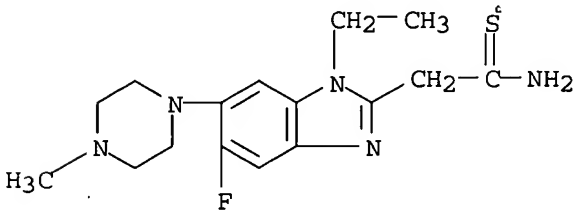
OTHER SOURCE(S): MARPAT 116:6577

AB Title compds. [I; R = alkylpyrid-4-yl, R3R4N; R1 = H, halo, amino; R2 = halo; R3, R4 = H, alkyl; R3R4 = (substituted) (O-, S-, or imino-interrupted) alkylene; R5 = H, halo, alkoxy, amino; R6 = (cyclo)alkyl, haloalkyl (substituted) Ph; R7 = H, alkyl, CO2H; R8 = H, OH, alkoxy, amino; Y = O, S) were prepared Thus, tert-Bu 4-[2-(carbamoylmethyl)-1-cyclopropyl-5-fluoro-6-benzimidazolyl]-1-piperazinecarboxylate (preparation from 1-chloro-2,5-difluoro-4-nitrobenzene given) in THF was treated with carbonyldiimidazole and 1,8-diazabicyclo[5.4.0]undec-7-ene at 60° for 2 h to give 73% tert-Bu 4-[5-cyclopropyl-8-fluoro-1,2,3,5-tetrahydro-1,3-dioxopyrimido[1,6-a]benzimidazol-7-yl]-1-piperazinecarboxylate. The latter was stirred 1 h in CF3CO2H to give 60.6% title compound II. II inhibited Escherichia coli DNA gyrase with a maximum noneffective concentration of 0.45 μg/mL. Tablets and capsules were prepared containing the free base of II.

IT **137882-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for DNA gyrase-inhibiting antibacterial)

RN 137882-04-3 CAPLUS

CN 1H-Benzimidazole-2-ethanethioamide, 1-ethyl-5-fluoro-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L35 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:114697 CAPLUS
DOCUMENT NUMBER: 110:114697
TITLE: Preparation of 5-substituted quinolone- and naphthyridonecarboxylic acids as antibacterial agents
INVENTOR(S): Petersen, Uwe; Grohe, Klaus; Schriewer, Michael; Schenke, Thomas; Haller, Ingo; Metzger, Karl; Endermann, Rainer; Zeiler, Hans Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

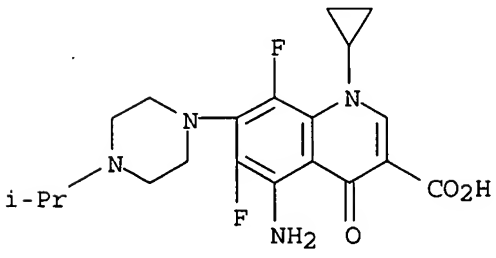
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3711193	A1	19881013	DE 1987-3711193	19870402
NO 8801121	A	19881003	NO 1988-1121	19880314
EP 284935	A1	19881005	EP 1988-104452	19880321
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AU 8813811	A1	19881006	AU 1988-13811	19880328
DD 274029	A5	19891206	DD 1988-314159	19880329
DK 8801802	A	19881003	DK 1988-1802	19880330
FI 8801501	A	19881003	FI 1988-1501	19880330
CN 88101741	A	19881116	CN 1988-101741	19880331
ZA 8802318	A	19881228	ZA 1988-2318	19880331
JP 63258855	A2	19881026	JP 1988-78298	19880401
HU 47098	A2	19890130	HU 1988-1619	19880401
HU 201050	B	19900928		

PRIORITY APPLN. INFO.: DE 1987-3711193 A 19870402
OTHER SOURCE(S): CASREACT 110:114697; MARPAT 110:114697

AB The title compds. [I; A = N, CR9; R1 = Me, Et, cyclopropyl, etc.; R2 = H, alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R3 = Me, 13 N-attached heterocyclyl; R9 = H, halo, Me, cyano, NO2; R1R9 = OCH2CHMe, SCH2CHMe, CH2CH2CHMe] were prepared C6F5COCH2CO2Et (preparation given) was refluxed 2 h with HC(OEt)3 in Ac2O to give C6F5COC(CO2Et):CHOEt which was treated overnight with cyclopropylamine in EtOH to give C6F5COC(CO2Et):CHNHR (R = cyclopropyl). The latter was refluxed 3 h in DMF containing NaF to give, after saponification, quinolonecarboxylate II (R3 = Y = F) which was refluxed 3 h with 1-methylpiperazine in MeCN/DMF containing Dabco to give II (R3 = 4-methyl-1-piperazinyl, Y = F) (III). Tablets were prepared each containing III 583.0, cellulose 55.0, starch 72.0, polyvinylpyrrolidone 30.0, SiO2 5.0, and Mg stearate 5.0 mg with a coating comprising (hydroxypropyl)methylcellulose 6.0, Macrogol 40,000 2.0, and TiO2 2.0 mg. II (R3 = 3-methyl-1-piperazinyl, Y = NH2) had a min. inhibitory concentration of 0.5 (units not given) against Escherichia coli 455/7.

IT **119354-36-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial agent)

RN 119354-36-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-7-[4-(1-methylethyl)-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



L35 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:509953 CAPLUS
DOCUMENT NUMBER: 97:109953

TITLE: Studies on antimalarials. III. Synthesis and antimalarial effects of some derivatives of 2,4-diamino-6-substituted piperazinylquinazolines

AUTHOR(S): Zhang, Xiuping; Li, Guangyun; Dai, Zurui; Qian, Yongle; Chen, Lin

CORPORATE SOURCE: Shanghai Inst. Pharm. Ind. Res., Shanghai, Peop. Rep. China

SOURCE: Yaoxue Xuebao (1981), 16(6), 415-24
CODEN: YHHPAL; ISSN: 0513-4870

DOCUMENT TYPE: Journal

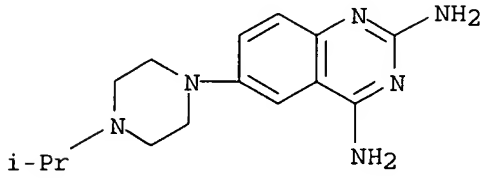
LANGUAGE: Chinese

AB Quinazoline derivs. (I; R = alkyl, PhCH2, MeSO2, etc.), antimalarials at 20-200 mg/kg and 0.01% concentration in mice and chickens, resp., were prepared Thus, a mixture of 0.1 mol 5,2-Cl(O2N)C6H3CN and 0.45 mol piperazine·6H2O in MeOCH2CH2OH was heated 5 min at 60° to give 90.5% II (R12 = O, R2 = H), which (0.042 mol) was reduced with SnCl2 in HCl at <30° to give 54.1% II (R1 = R2 = H). Cyclocondensation of 0.1 mol II·HCl (R1 = H, R2 = pentyl) with 0.1 mol cyanoguanidine at 190-5° gave 39.8% I (R = pentyl). Similarly prepared were 11 addnl. I.

IT **82596-58-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antimalarial activity of)

RN 82596-58-5 CAPLUS

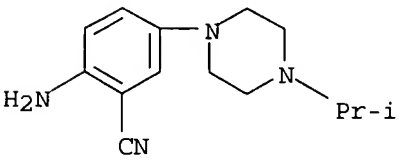
CN 2,4-Quinazolinediamine, 6-[4-(1-methylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



IT **82596-37-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with cyanoguanidine)

RN 82596-37-0 CAPLUS

CN Benzonitrile, 2-amino-5-[4-(1-methylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



L35 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:112032 CAPLUS

DOCUMENT NUMBER: 82:112032

TITLE: Basic substituted 2,6-bisbenzimidazole derivatives, a novel series of substances with chemotherapeutic activity

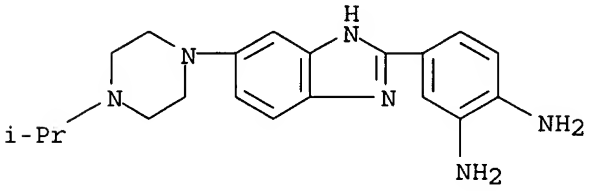
AUTHOR(S): Loewe, H.; Urbanietz, J.

CORPORATE SOURCE: Hoechst A.-G., Frankfurt/Main, Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1974), 24(12), 1927-33
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Reaction of 2,5-O2NClC6H3NH2 with RH [R = R1 (with R2 = Me, Et, CHMe2, Bu, CH2Ph, CH2CH2OH, CO2Et, CH2CH2NEt2, Ph, CONEt2, or 2-pyridinyl), piperidino, morpholino, or NEt2] gave 2,5-O2NRC6H3NH2, which were reduced to give 3,4-(H2N)2-C6H3R (I). I reacted with 3,4-O2N(H2N)C6H3C(:NH)OEt.HCl to give the benzimidazoles II (R3 = NO2), reduction of which over Raney Ni gave II (R3 = NH2), which reacted with 2,3,4-R6-R4R5C6H2C(:NH)OEt.HCl to give III (R4 = H, Cl, Me, NO2, or OMe; R5 = H, OMe, OEt, OPr, OBu, Me, Cl, NMe2, NH2 OPh, Ph, NO2, or OH; or R4R5 = OCH2O; R6 = H or OH). III had anthelmintic activity, especially against filarias in cotton rats. In addition III showed fluorochromic properties.

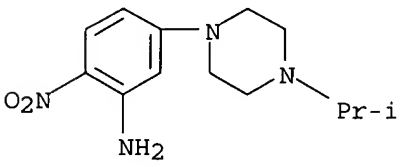
IT **23617-82-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with benzimidoyl ethyl ether)

RN 23617-82-5 CAPLUS
CN 1,2-Benzenediamine, 4-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

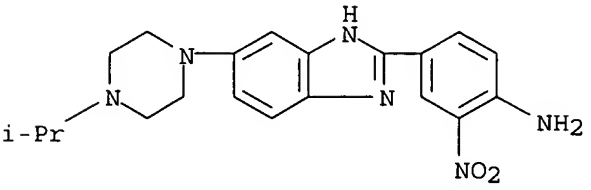


IT **23470-41-9P 23470-50-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 23470-41-9 CAPLUS
CN Benzenamine, 5-[4-(1-methylethyl)-1-piperazinyl]-2-nitro- (9CI) (CA INDEX NAME)



RN 23470-50-0 CAPLUS
CN Benzenamine, 4-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]-2-nitro- (9CI) (CA INDEX NAME)



L35 ANSWER 36 OF 47 USPATFULL on STN

ACCESSION NUMBER: 2004:127561 USPATFULL

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004097545	A1	20040520
	US 6800760	B2	20041005
APPLICATION INFO.:	US 2003-613411	A1	20030703 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property, P.O. Box 8097, Emeryville, CA, 94662-8097

NUMBER OF CLAIMS: 37

EXEMPLARY CLAIM: 1

LINE COUNT: 6582

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

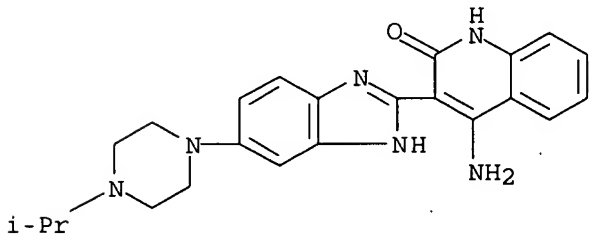
AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

IT **405168-80-1P**, 4-Amino-3-[6-[4-(1-methylethyl)piperazin-1-yl]-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-80-1 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-3-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L35 ANSWER 38 OF 47 USPATFULL on STN

ACCESSION NUMBER:	2004:7861 USPATFULL
TITLE:	Quinolinone derivatives
INVENTOR(S):	Renhowe, Paul A., Danville, CA, UNITED STATES Pecchi, Sabina, Oakland, CA, UNITED STATES Machajewski, Timothy D., Martinez, CA, UNITED STATES Shafer, Cynthia M., El Sobrante, CA, UNITED STATES Taylor, Clarke, Ann Arbor, MI, UNITED STATES McCrea, William R., JR., Berkeley, CA, UNITED STATES McBride, Christopher, Oakland, CA, UNITED STATES Jazan, Eliza, Richmond, CA, UNITED STATES
PATENT ASSIGNEE(S):	CHIRON CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004006101	A1	20040108
	US 6762194	B2	20040713
APPLICATION INFO.:	US 2003-387355	A1	20030312 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, PENDING Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Steven W. Collier, Chiron Corporation, P.O. Box 8097, Emeryville, CA, 94662
NUMBER OF CLAIMS:	42
EXEMPLARY CLAIM:	1
LINE COUNT:	5740

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

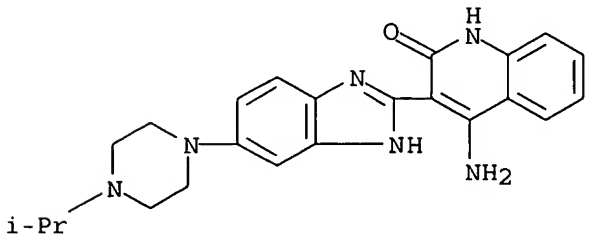
AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

IT **405168-80-1P**, 4-Amino-3-[6-[4-(1-methylethyl)piperazin-1-yl]-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-80-1 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-3-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L35 ANSWER 43 OF 47 USPATFULL on STN

ACCESSION NUMBER:	2003:38371 USPATFULL
TITLE:	Quinolinone derivatives
INVENTOR(S):	Renhowe, Paul A., Danville, CA, UNITED STATES Pecchi, Sabina, Oakland, CA, UNITED STATES Machajewski, Timothy D, Martinez, CA, UNITED STATES Shafer, Cynthia M., El Sobrante, CA, UNITED STATES Taylor, Clarke, Ann Arbor, MI, UNITED STATES McCrea, William R., JR., Berkeley, CA, UNITED STATES McBride, Christopher, Oakland, CA, UNITED STATES Jazan, Elisa, Richmond, CA, UNITED STATES
PATENT ASSIGNEE(S):	Chiron Coporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003028018	A1	20030206
APPLICATION INFO.:	US 2002-116117	A1	20020405 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-951265, filed on 11 Sep 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property Law Dept., PO Box 8097, Emeryville, CA, 94662
NUMBER OF CLAIMS:	37
EXEMPLARY CLAIM:	1
LINE COUNT:	6573

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

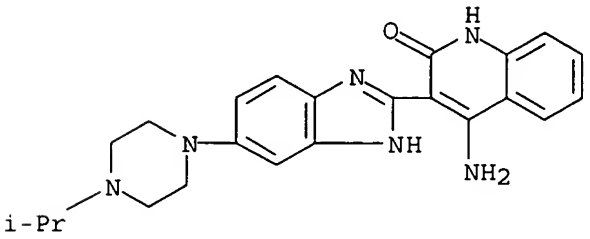
AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

IT **405168-80-1P**, 4-Amino-3-[6-[4-(1-methylethyl)piperazin-1-yl]-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-80-1 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-3-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L35 ANSWER 45 OF 47 USPATFULL on STN
ACCESSION NUMBER: 2002:199281 USPATFULL
TITLE: Quinolinone derivatives
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES

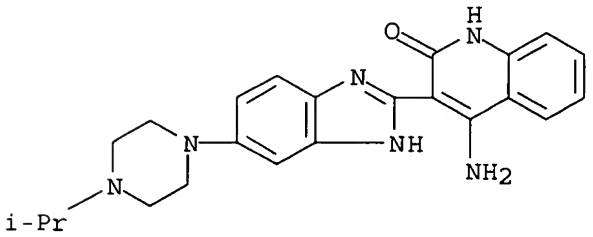
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002107392	A1	20020808	
	US 6605617	B2	20030812	
APPLICATION INFO.:	US 2001-951265	A1	20010911	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA, 94608-2916
NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1
LINE COUNT: 6588
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

IT **405168-80-1P**, 4-Amino-3-[6-[4-(1-methylethyl)piperazin-1-yl]-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405168-80-1 USPATFULL
CN 2(1H)-Quinolinone, 4-amino-3-[5-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L35 ANSWER 46 OF 47 USPATFULL on STN
ACCESSION NUMBER: 96:55870 USPATFULL
TITLE: Antimicrobial quinolonyl lactams

INVENTOR(S): Demuth, Jr., Thomas P., Norwich, NY, United States
White, Ronald E., South Plymouth, NY, United States
PATENT ASSIGNEE(S): The Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5530116		19960625
APPLICATION INFO.:	US 1994-361919		19941222 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1990-511483, filed on 18 Apr 1990, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rizzo, Nicholas
LEGAL REPRESENTATIVE: Hake, Richard A., Winter, William J., Suter, David L.
NUMBER OF CLAIMS: 30
EXEMPLARY CLAIM: 1
LINE COUNT: 1939
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Antimicrobial quinolonyl lactam compounds comprising a lactam-containing moiety linked to a quinolone moiety, of the formula: ##STR1## wherein (1) A.sup.1, A.sup.2, A.sup.3, R.sup.1, and R.sup.4 generally form any of a variety of quinolone, naphthyridine or related cyclic moieties known in the art to have antimicrobial activity; and

(2) R.sup.6 is part of a linking moiety, linking the quinolone moiety to a lactam-containing moiety having the formula: ##STR2## wherein (3) R.sup.10, R.sup.11, R.sup.12, R.sup.13, and R.sup.14, together with bonds "a" and "b", form any of a variety of lactam-containing moieties known in the art to have antimicrobial activity; and

(4) the linking moiety includes (for example) carbamate, dithiocarbamate, urea, thiourea, isouronium, isothiouronium, guanidine, carbonate, trithiocarbonate, reversed carbamate, xanthate, reversed isouronium, reversed dithiocarbamate, reversed isothiouronium, amine, imine, ammonium, heteroarylium, ether, thioether, ester, thioester, amide, and hydrazide groups.

IT **138648-66-5P**
(preparation of, as antimicrobial)
RN 138648-66-5 USPATFULL
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[[2-[3-carboxy-1-cyclopropyl-6,8-difluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-5-quinolinyl]hydrazino]thioxomethyl]thio]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, disodium salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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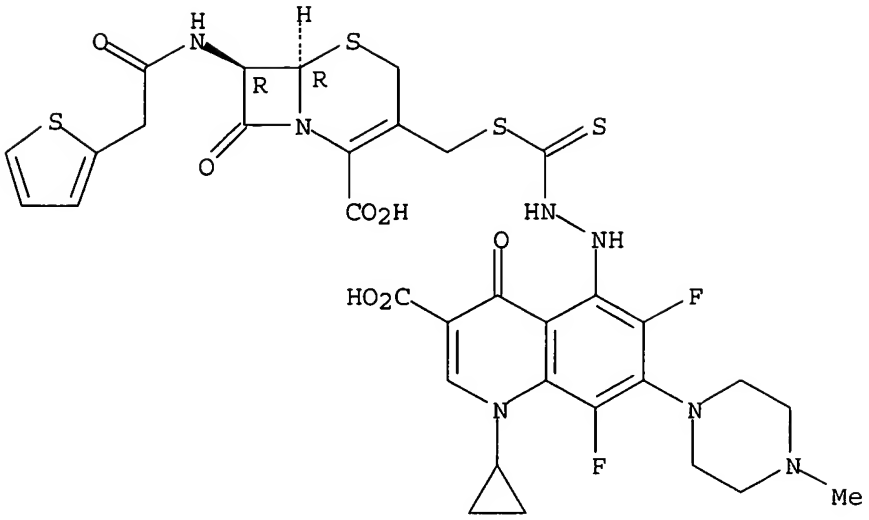

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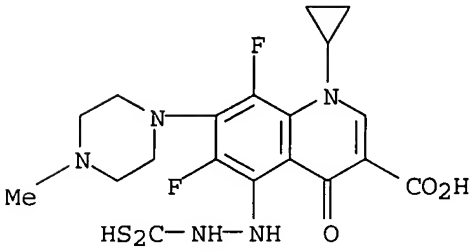
●2 Na

IT **138648-70-1P**
(preparation of, as intermediate for antimicrobial)
RN 138648-70-1 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5-[2-(dithiocarboxy)hydrazino]-6,8-difluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L35 ANSWER 47 OF 47 USPATFULL on STN
ACCESSION NUMBER: 94:9584 USPATFULL
TITLE: Amino substituted pyrimido[1,6-2]benzimidazoles
INVENTOR(S): Hubschwerlen, Christian, Durmenach, France
Kompis, Ivan, Oberwil, Switzerland
Specklin, Jean-Luc, Kembs-Loechle, France
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5283248		19940201

APPLICATION INFO.: US 1992-904245 19920625 (7)
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1991-708642, filed on 31 May 1991, now abandoned which is a continuation-in-part of Ser. No. US 1990-612333, filed on 13 Nov 1990, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1989-4165	19891121
	CH 1990-2688	19900817
	CH 1990-2817	19900830

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Gould, George M., Johnston, George W., Coletti, Ellen Ciambrone
NUMBER OF CLAIMS: 70
EXEMPLARY CLAIM: 1
LINE COUNT: 1376
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel substituted pyrimidobenzimidazole derivatives of the formula ##STR1## wherein the substituents are as described in the specification, and pharmaceutically acceptable salts thereof.

The products have an inhibitory action on the DNA-gyrase activity in bacteria. They can accordingly be used for the prevention or control of bacterial infections.

IT **137882-04-3P**
(preparation of, as intermediate for (piperazinyl)pyrimido[1,6-a]benzimidazole bactericide)
RN 137882-04-3 USPATFULL
CN 1H-Benzimidazole-2-ethanethioamide, 1-ethyl-5-fluoro-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)